10530876new1

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http://www.cas.org/ONLINE/UG/regprops.html

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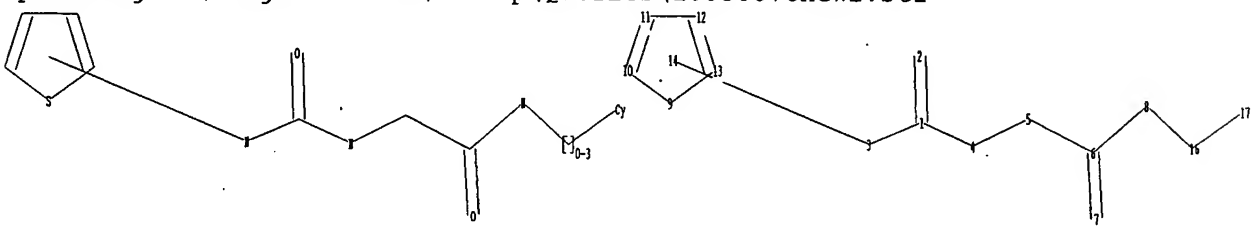

chain nodes :
1 2 3 4 5 6 7 8 16 17
ring nodes :
9 10 11 12 13
chain bonds :
1-2 1-3 1-4 4-5 5-6 6-7 6-8 8-16 16-17
ring bonds :
9-10 9-13 10-11 11-12 12-13
exact/norm bonds :
1-2 1-3 1-4 4-5 6-7 6-8 8-16 16-17
exact bonds :
5-6 9-10 9-13 10-11 11-12 12-13
isolated ring systems :
containing 9 :

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 16:CLASS 17:Atom

L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR

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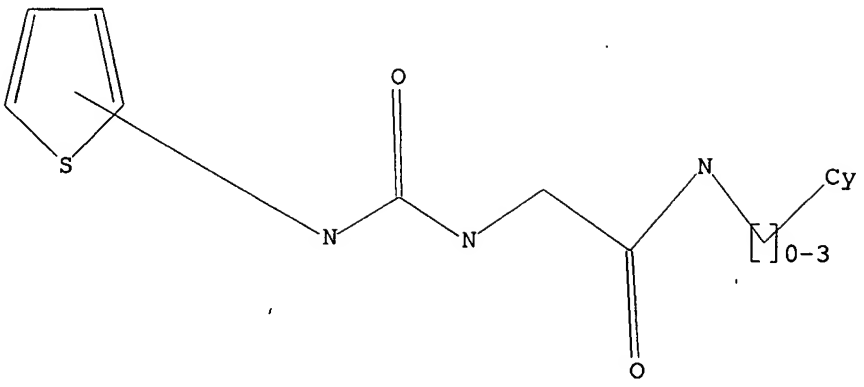

Structure attributes must be viewed using STN Express query preparation.

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FULL SCREEN SEARCH COMPLETED - 6962 TO ITERATE

100.0% PROCESSED 6962 ITERATIONS 128 ANSWERS
SEARCH TIME: 00.00.01

L5 128 SEA SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	376.50

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
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FILE COVERS 1907 - 6 Apr 2007 VOL 146 ISS 16
FILE LAST UPDATED: 5 Apr 2007 (20070405/ED)

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Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l5
L6 12 L5

=> d ibib abs hitstr tot

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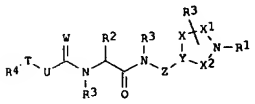
Date: 10/10/07

10530876new1

L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:174409 CAPLUS
DOCUMENT NUMBER: 146:252103
TITLE: Preparation of amino acid derivatives as M3 muscarinic acetylcholine receptor antagonists
INVENTOR(S): Busch-Petersen, Jakob; Fu, Wei; Jin, Jian; Moore, Michael Lee; Rivero, Ralph A.; Shi, Dongchuan; Wang, Feng
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 66pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007018514	A1	20070215	WO 2005-US26877	20050728
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			WO 2005-US26877	20050728

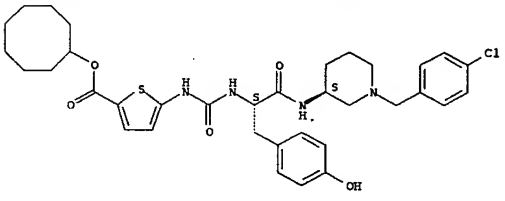
GI



I

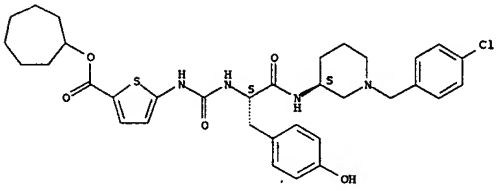
AB Amino acid derivs. I [X is C, O; Y is C, N; X1, X2, Z are (CH2)0-2; R1 is H, (un)substituted alkyl, Ph, thienyl, furyl, etc.; R2 is methylene, ethylene, or propylene substituted by Ph, thienyl, furyl, pyridyl, naphthyl, quinolinyl, indolyl, benzothienyl, benzofuranyl, etc.; R3 is H, (un)substituted alkyl, cycloalkyl, Ph, etc.; R4 is (un)substituted alkyl, cycloalkyl, Ph, etc.; U is NR3, O, or a bond; W is O, S, or NH; T is (un)substituted Ph, thienyl, furyl, pyridyl, naphthyl, quinolinyl, indolyl, benzothienyl, or benzofuranyl] were prepared as muscarinic acetylcholine receptor antagonists. Thus, Et 4-[[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[[1-[(4-hydroxyphenyl)methyl]-3-pyrrolidinyl]amino]-2-oxoethyl]amino]carbonyl]amino]benzoate was prepared by

L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



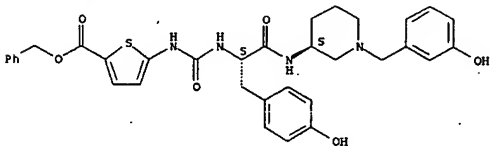
RN 925904-99-0 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-2-[[(3S)-1-[(4-chlorophenyl)methyl]-3-piperidinyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]amino]-, cycloheptyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 925905-00-6 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[[(3S)-1-[(3-hydroxyphenyl)methyl]-3-piperidinyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 925905-01-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-2-[[(3S)-1-[(4-chlorophenyl)methyl]-

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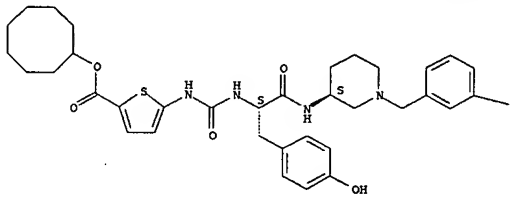
L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
a multistep procedure in solid phase starting from protected tyrosine.
IT 925904-97-8P 925904-98-9P 925904-99-0P
925905-00-6P 925905-01-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor antagonists)
RN 925904-97-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[[(3S)-1-[(3-hydroxyphenyl)methyl]-3-piperidinyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

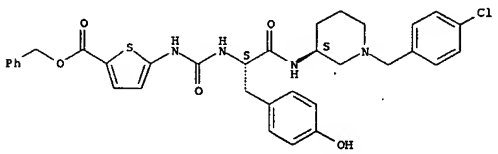
OH

RN 925904-98-9 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-2-[[(3S)-1-[(4-chlorophenyl)methyl]-3-piperidinyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

Absolute stereochemistry.

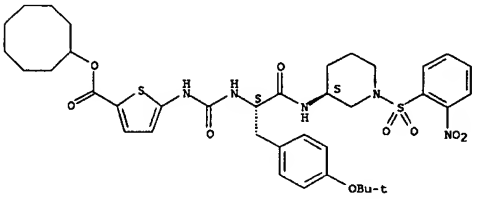
L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
3-piperidinyl]amino]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]amino]carbonyl]amino]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 925941-31-7DP, resin-bound 925941-32-8DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor antagonists)
RN 925941-31-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[[(3S)-1-[(2-nitrophenyl)sulfonyl]-3-piperidinyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

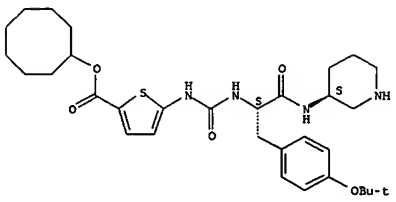
Absolute stereochemistry.



RN 925941-32-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-oxo-2-[(3S)-3-piperidinylamino]ethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

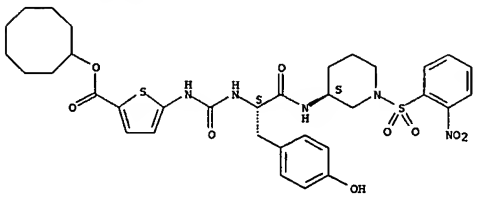
Absolute stereochemistry.

L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



IT 925905-10-8P 925905-12-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor
antagonists)
RN 925905-10-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[[(3S)-1-[(2-nitrophenyl)sulfonyl]-3-piperidinyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

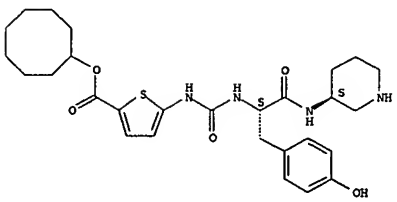
Absolute stereochemistry.



RN 925905-12-0 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-oxo-2-[(3S)-3-piperidinylamino]ethyl]amino]carbonyl]amino]-, cyclooctyl ester (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

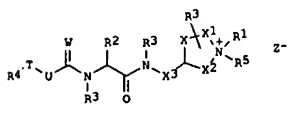
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:174402 CAPLUS
DOCUMENT NUMBER: 146:252102
TITLE: Preparation of amino acid derivatives as M3 muscarinic acetylcholine receptor antagonists
INVENTOR(S): Busch-Petersen, Jakob; Fu, Wei; Jin, Jian; Moore, Michael Lee; Rivero, Ralph A.; Shi, Dongchuan; Wang, Feng; Wang, Yonghui
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 100pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007018508	A1	20070215	WO 2005-US26756	20050728

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: WO 2005-US26756 20050728
GI



I

AB Amino acid derivs. I [X is C, O; X1, X2, X3 are (CH2)0-2; R1 is H, (un)substituted alkyl, Ph, thienyl, furyl, etc.; R2 is methylene, ethylene, or propylene substituted by Ph, thienyl, furyl, pyridyl, naphthyl, quinolinyl, indolyl, benzothienyl, benzofuranyl, etc.; R3 is H, (un)substituted alkyl, cycloalkyl, Ph, etc.; R4, R5 are (un)substituted alkyl, cycloalkyl, Ph, etc.; U is NR3, O, or a bond; W is O, S, or NH; T is (un)substituted Ph, thienyl, furyl, pyridyl, naphthyl, quinolinyl, indolyl, benzothienyl, or benzofuranyl] were prepared as muscarinic acetylcholine receptor antagonists. Thus, N-[[[4-(ethoxycarbonyl)phenyl]amino]carbonyl]-N-[(3S)-1-[(4-hydroxyphenyl)methyl]-

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

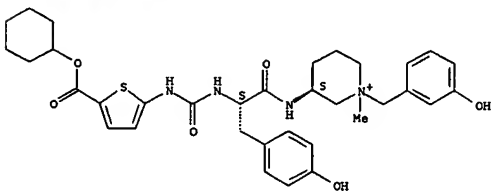
1-methyl-3-pyrrolidiniumyl]-L-tyrosinamide trifluoroacetate was prepd. by a multistep procedure in solid phase starting from protected tyrosine.

IT 926288-98-4P 926289-00-1P 926289-02-3P
926289-04-5P 926289-06-7P 926289-08-9P
926289-10-3P 926289-12-5P 926289-14-7P
926289-16-9P 926289-18-1P 926289-20-5P
926289-22-7P 926289-24-9P 926289-26-1P
926289-28-3P 926289-30-7P 926289-32-9P
926289-34-1P 926289-36-3P 926289-38-5P
926289-40-9P 926289-42-1P 926289-44-3P
926289-46-5P 926289-48-7P 926289-50-1P
926289-52-3P 926289-54-5P 926289-56-7P
926289-58-9P 926289-60-3P 926289-62-5P
926289-64-7P 926289-66-9P 926289-68-1P
926289-70-5P 926289-72-7P 926289-74-9P
926289-76-1P 926289-78-3P 926289-80-7P
926290-04-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor
antagonists)
RN 926288-98-4 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[(cyclohexyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926288-97-3
CMF C34 H43 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

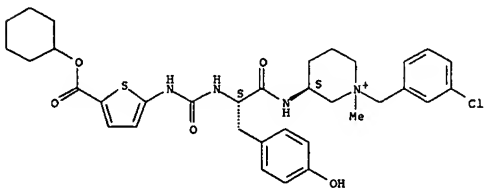
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 926289-00-1 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclohexyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926288-99-5
CMF C34 H42 Cl N4 O5 S

Absolute stereochemistry.



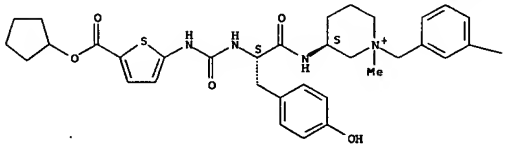
CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-02-3 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclohexyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

—OH

CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-06-7 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclopentyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-05-6
CMF C33 H40 Cl N4 O5 S

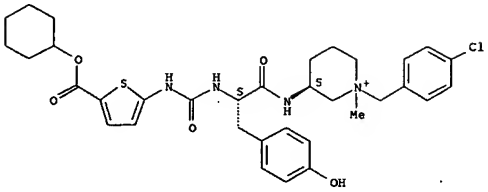
Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 1

CRN 926289-01-2
CMF C34 H42 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

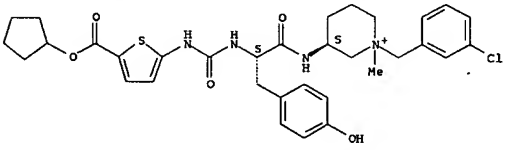
RN 926289-04-5 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[(cyclopentyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-03-4
CMF C33 H41 N4 O6 S

Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

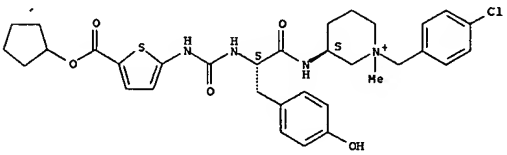
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-08-9 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclopentyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-07-8
CMF C33 H40 Cl N4 O5 S

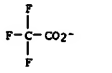
Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



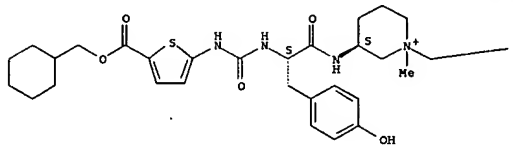
RN 926289-10-3 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[(cyclohexylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

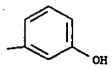
CRN 926289-09-0
CMF C35 H45 N4 O6 S

Absolute stereochemistry.

PAGE 1-A



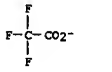
PAGE 1-B



CM 2

CRN 14477-72-6
CMF C2 F3 O2

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



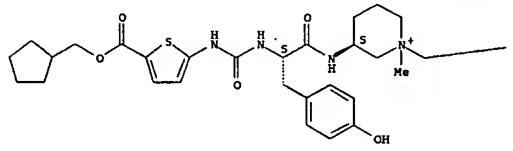
RN 926289-14-7 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[(cyclopentylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

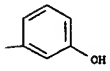
CRN 926289-13-6
CMF C34 H43 N4 O6 S

Absolute stereochemistry.

PAGE 1-A

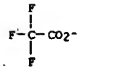


PAGE 1-B



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-16-9 CAPLUS

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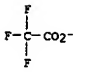
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



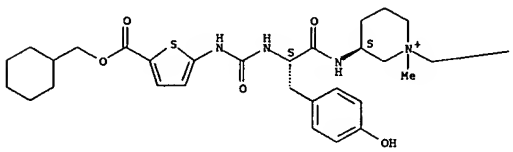
RN 926289-12-5 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclohexylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

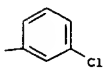
CRN 926289-11-4
CMF C35 H44 Cl N4 O5 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 14477-72-6
CMF C2 F3 O2

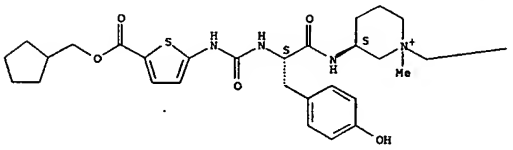
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclopentylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

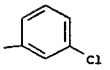
CRN 926289-15-8
CMF C34 H42 Cl N4 O5 S

Absolute stereochemistry.

PAGE 1-A

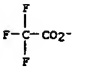


PAGE 1-B



CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 926289-18-1 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclopentylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

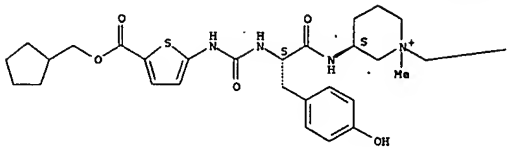
CM 1

CRN 926289-17-0
CMF C34 H42 Cl N4 O5 S

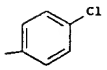
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 14477-72-6
CMF C2 F3 O2

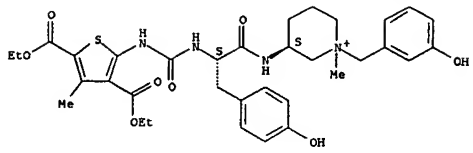
RN 926289-20-5 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[3,5-bis(ethoxycarbonyl)-4-methyl-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-19-2
CMF C34 H43 N4 O8 S

Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

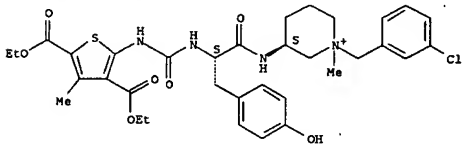
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-22-7 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[3,5-bis(ethoxycarbonyl)-4-methyl-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-chlorophenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-21-6
CMF C34 H42 Cl N4 O7 S

Absolute stereochemistry.



CM 2

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

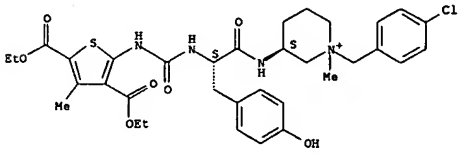
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-24-9 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[3,5-bis(ethoxycarbonyl)-4-methyl-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(4-chlorophenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-23-8
CMF C34 H42 Cl N4 O7 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

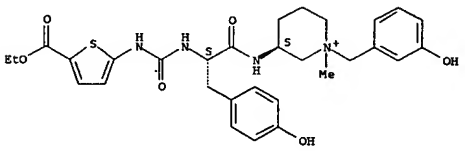
RN 926289-26-1 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-(ethoxycarbonyl)-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 926289-25-0
CMF C30 H37 N4 O6 S

Absolute stereochemistry.



CM 2

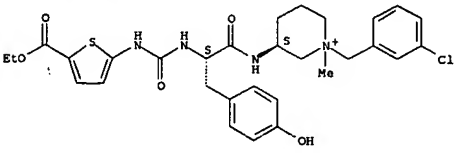
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-28-3 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-(ethoxycarbonyl)-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-27-2
CMF C30 H36 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6

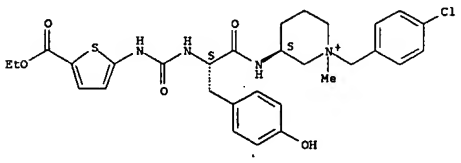
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C2 F3 O2

RN 926289-30-7 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-(ethoxycarbonyl)-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-29-4
CMF C30 H36 Cl N4 O5 S

Absolute stereochemistry.

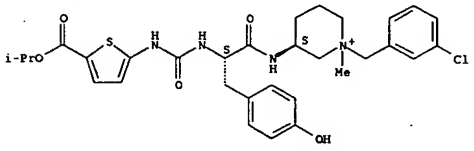


CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-32-9 CAPLUS
CN Piperidinium, 1-[(3-hydroxyphenyl)methyl]-3-[[(2S)-3-(4-hydroxyphenyl)-2-[[[[5-[(1-methylethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

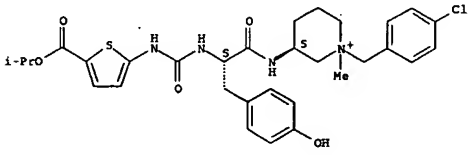
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-36-3 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-3-(4-hydroxyphenyl)-2-[[[[5-[(1-methylethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-35-2
CMF C31 H38 Cl N4 O5 S

Absolute stereochemistry.



CM 2

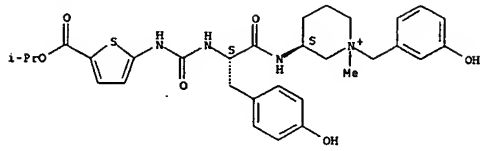
CRN 14477-72-6
CMF C2 F3 O2

Karen Cheng

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 1

CRN 926289-31-8
CMF C31 H39 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-34-1 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-3-(4-hydroxyphenyl)-2-[[[[5-[(1-methylethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-33-0
CMF C31 H38 Cl N4 O5 S

Absolute stereochemistry.

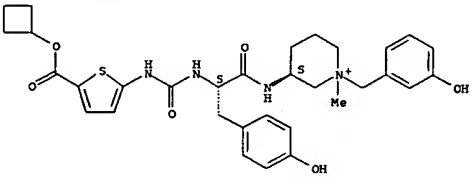
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 926289-38-5 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[(cyclobutyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-37-4
CMF C32 H39 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

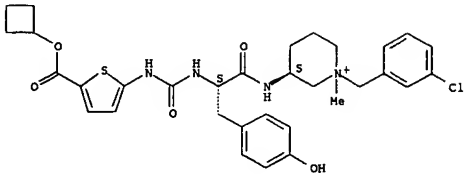
RN 926289-40-9 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclobutyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-39-6
CMF C32 H38 Cl N4 O5 S

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-42-1 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclobutyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-41-0
CMF C32 H38 Cl N4 O5 S

Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B

OH

CM 2

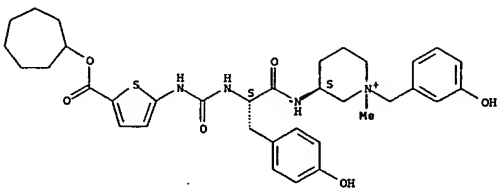
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-46-5 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[(cycloheptyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-45-4
CMF C35 H45 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

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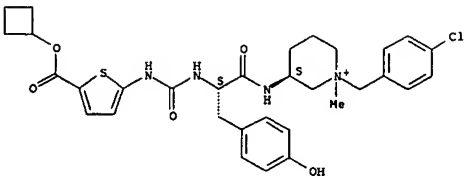
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 14477-72-6
CMF C2 F3 O2

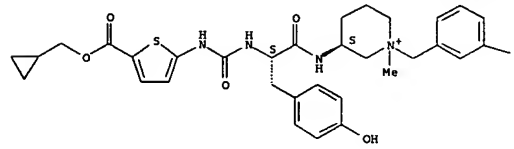
RN 926289-44-3 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[(cyclopropylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-43-2
CMF C32 H39 N4 O6 S

Absolute stereochemistry.

PAGE 1-A



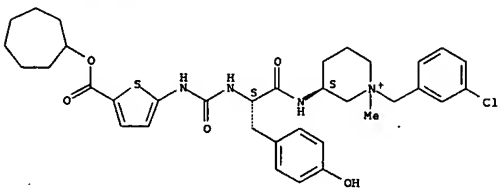
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 926289-48-7 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cycloheptyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-47-6
CMF C35 H44 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

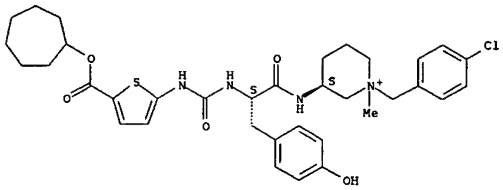
RN 926289-50-1 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cycloheptyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-49-8
CMF C35 H44 Cl N4 O5 S

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



CM 2

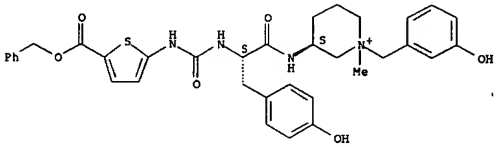
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-52-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

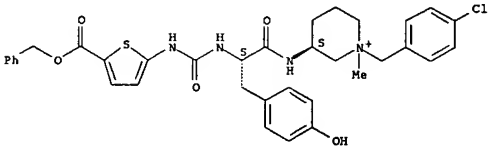
CRN 926289-51-2
CMF C35 H39 N4 O6 S

Absolute stereochemistry.



L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMF C35 H38 Cl N4 O5 S

Absolute stereochemistry.



CM 2

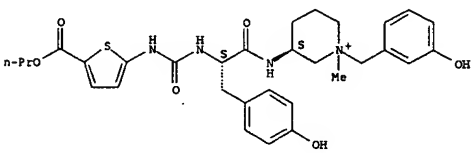
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-58-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 926289-57-8
CMF C31 H39 N4 O6 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

Karen Cheng

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CM 2

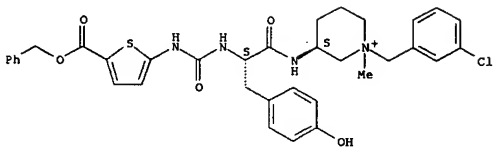
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-54-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 926289-53-4
CMF C35 H38 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-56-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 926289-55-6

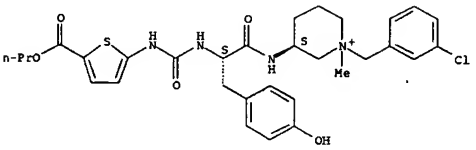
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 926289-60-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 926289-59-0
CMF C31 H38 Cl N4 O5 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

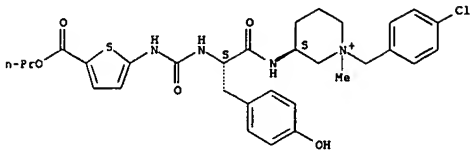
RN 926289-62-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

CM 1

CRN 926289-61-4
CMF C31 H38 Cl N4 O5 S

Absolute stereochemistry.

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 14477-72-6
CMF C2 F3 O2

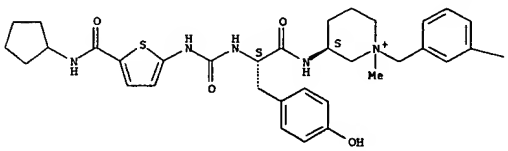
RN 926289-64-7 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[(cyclopentylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-63-6
CMF C33 H42 N5 O5 S

Absolute stereochemistry.

PAGE 1-A



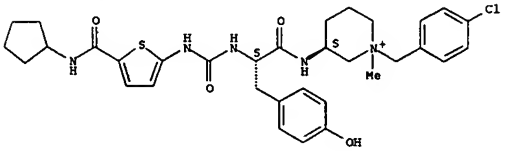
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 926289-68-1 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclopentylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-67-0
CMF C33 H41 Cl N5 O4 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-70-5 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[(cycloheptylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-69-2
CMF C35 H46 N5 O5 S

Absolute stereochemistry.

Karen Cheng

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B

CM 2

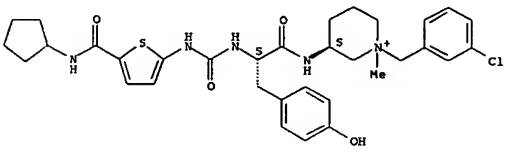
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-66-9 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclopentylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-65-8
CMF C33 H41 Cl N5 O4 S

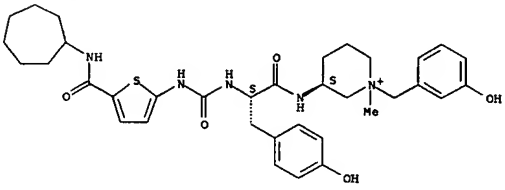
Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

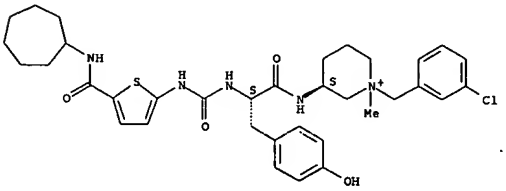
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-72-7 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cycloheptylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-71-6
CMF C35 H45 Cl N5 O4 S

Absolute stereochemistry.



L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 2

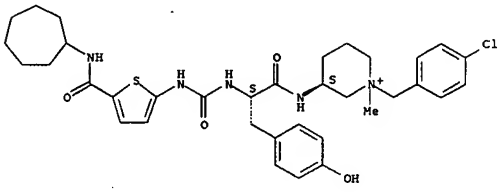
CRN 14477-72-6
CMF C2 F3 O2

RN 926289-74-9 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cycloheptylamino)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-73-8
CMF C35 H45 Cl N5 O4 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

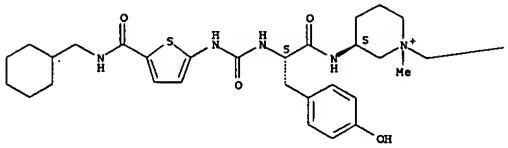
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CM 1

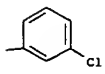
CRN 926289-77-2
CMF C35 H45 Cl N5 O4 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-80-7 CAPLUS
CN Piperidinium, 1,1-bis[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-(ethoxycarbonyl)-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926289-79-4
CMF C36 H39 Cl2 N4 O5 S

Absolute stereochemistry.

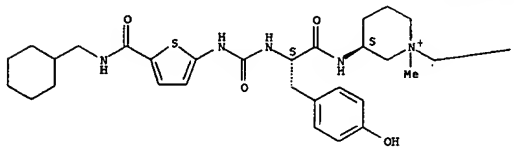
L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 926289-76-1 CAPLUS
CN Piperidinium, 3-[[(2S)-2-[[[[5-[[(cyclohexylmethyl)amino]carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-[(3-hydroxyphenyl)methyl]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

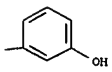
CRN 926289-75-0
CMF C35 H46 N5 O5 S

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

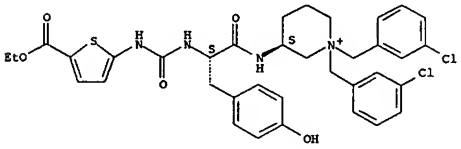


CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 926289-78-3 CAPLUS
CN Piperidinium, 1-[(3-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[[(cyclohexylmethyl)amino]carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 14477-72-6
CMF C2 F3 O2

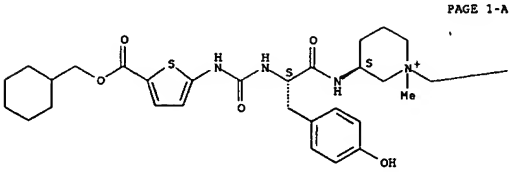
RN 926290-04-2 CAPLUS
CN Piperidinium, 1-[(4-chlorophenyl)methyl]-3-[[(2S)-2-[[[[5-[(cyclohexylmethoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]-1-methyl-, 2,2,2-trifluoroacetate (1:1), (3S)- (CA INDEX NAME)

CM 1

CRN 926290-03-1
CMF C35 H44 Cl N4 O5 S

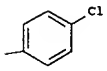
Absolute stereochemistry.

PAGE 1-A



L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B

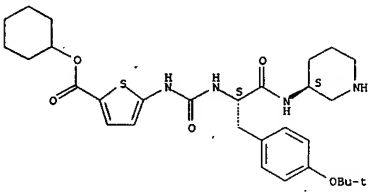


CM 2

CRN 14477-72-6
CMF C2 F3 O2

IT 926289-99-8DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor
antagonists)
RN 926289-99-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-oxo-2-[(3S)-3-piperidinylamino]ethyl]amino]carbonyl]amino]-, cyclohexyl ester (CA INDEX NAME)

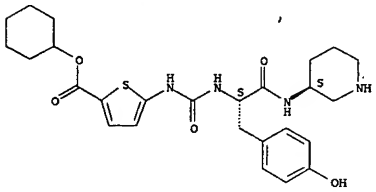
Absolute stereochemistry.



IT 926289-88-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of amino acid derivs. as M3 muscarinic acetylcholine receptor

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
antagonists)
RN 926289-88-5 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-oxo-2-[(3S)-3-piperidinylamino]ethyl]amino]carbonyl]amino]-, cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

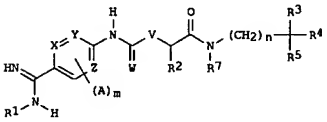
L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:884851 CAPLUS
DOCUMENT NUMBER: 145:299237
TITLE: Amidino heteroaryl compounds for stabilizing factor VII polypeptide formulations
INVENTOR(S): Petersen, Anders Klarskov; Bowler, Andrew Neil
PATENT ASSIGNEE(S): Novo Nordisk Health Care AG, Switz.
SOURCE: PCT Int. Appl., 42pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006089953	A1	20060831	WO 2006-EP60270	20060224

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DK 2005-285 A 20050224
OTHER SOURCE(S): MARPAT 145:299237
GI



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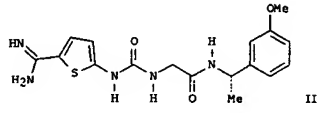


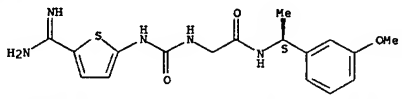
II

AB The invention relates to novel compds. of the formula I [m = 0-2; n = 0-1; A = halo or OH; V = NR6 or O; W = S or O; X, Y and Z independently = C or N with provision at least one equals N, or X and Y together is a sulfur atom; R1 = H, OH, alkoxycarbonyl, etc.; R2 = H, alkyl, aryl, etc.; R3 = H, CN, OH, and alkyl; R4 = (un)substituted alkyl, aryl, arylalkyl, etc.; R5 = H, (un)substituted heterocyclyl, alkyl, etc.; R6 and R7 independently = H or alkyl] and their use in stabilization of Factor VIIa or other Factor VII polypeptides, particularly in aq. liq. compns. thereof. Methods for prepg. I are described (no data). A formulation of invention compd. II with rhFVIIa substantially maintained clot activity up through 9 mo under storage conditions of 5 °C.

L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IT 908280-16-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amidino-compds. for stabilizing factor VII polypeptide formulations)
RN 908280-16-0 CAPLUS
CN Acetamide, 2-[[[[5-(aminoiminomethyl)-2-thienyl]amino]carbonyl]amino]-N-[(1S)-1-(3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Karen Cheng

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:608671 CAPLUS
DOCUMENT NUMBER: 145:83655
TITLE: Preparation of fused heteroaromatic quaternary ammonium salt amino acid derivatives as novel muscarinic acetylcholine receptor antagonists
INVENTOR(S): Busch-Petersen, Jakob; Davis, Roderick S.; Fu, Wei; Jin, Jian; Laine, Dramane I.; Palovich, Michael R.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006065755	A2	20060622	WO 2005-US44951	20051213
WO 2006065755	A3	20061012		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

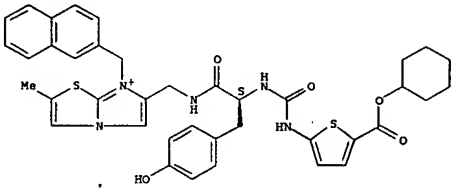
PRIORITY APPLN. INFO.: US 2004-635664P P 20041213
OTHER SOURCE(S): MARPAT 145:83655
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to amino acid heteroarom. derivs. I [Y is S, O or NR4 (R4 is H, alkyl, allyl); X, Z are N or CR5 (R5 is H, alkyl, alkenyl, halo, NR4, OR4, CN, NO2, CF3), provided that N ≤ 2 for X and ≤ 3 for Z; n is 0-3; A- is halo, CF3CO2-, mesylate, tosylate, etc.; R1, R2 are (un)substituted alkyl, cycloalkyl, Ph, etc.; T is (un)substituted thiophene, furan, thiazole, isothiazole, pyrrole, imidazole, pyrazole, or Ph; R3 is acyl, carboxylic ester, sulfonyloxy, sulfonylamino, carbamoyl, etc.] for use in treating muscarinic acetylcholine receptor-mediated diseases. Thus, imidazothiazolium tyrosinamide derivative II was prepared by a multistep sequence involving reaction of 2-methylimidazo[2,1-b][1,3]thiazole-6-methanamine (preparation given) on DMHB resin with Fmoc-Tyr(Bu-t)-OH (Fmoc = fluorenylmethoxycarbonyl).
IT 891844-68-1P 891844-72-7P 891844-76-1P
891844-86-3P 891845-22-0P

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.



CM 2

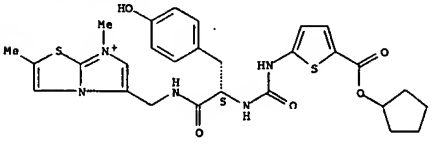
CRN 14477-72-6
CMF C2 F3 O2

RN 891844-76-1 CAPLUS
CN Imidazo[2,1-b]thiazolium, 5-[[[(2S)-2-[[[[5-[(cyclopentyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]-2,7-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 891844-75-0
CMF C28 H32 N5 O5 S2

Absolute stereochemistry.



CM 2

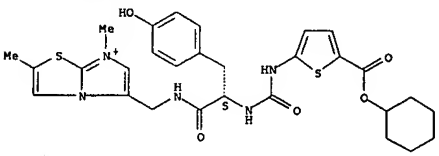
Karen Cheng

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused heteroarom. quaternary ammonium salt amino acid derivs. as muscarinic acetylcholine receptor antagonists)
RN 891844-68-1 CAPLUS
CN Imidazo[2,1-b]thiazolium, 5-[[[(2S)-2-[[[[5-[(cyclohexyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]-2,7-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 891844-67-0
CMF C29 H34 N5 O5 S2

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

RN 891844-72-7 CAPLUS
CN Imidazo[2,1-b]thiazolium, 6-[[[(2S)-2-[[[[5-[(cyclohexyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]-2-methyl-7-(2-naphthalenylmethyl)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 891844-71-6
CMF C39 H40 N5 O5 S2

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

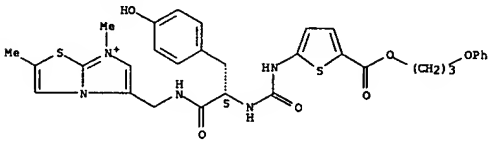
CRN 14477-72-6
CMF C2 F3 O2

RN 891844-86-3 CAPLUS
CN Imidazo[2,1-b]thiazolium, 5-[[[(2S)-3-(4-hydroxyphenyl)-1-oxo-2-[[[[5-[(3-phenoxypropoxy)carbonyl]-2-thienyl]amino]carbonyl]amino]propyl]amino]methyl]-2,7-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 891844-85-2
CMF C32 H34 N5 O6 S2

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

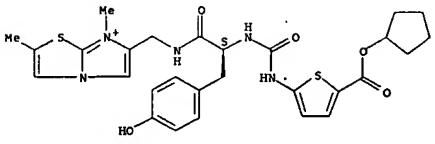
RN 891845-22-0 CAPLUS
CN Imidazo[2,1-b]thiazolium, 6-[[[(2S)-2-[[[[5-[(cyclopentyloxy)carbonyl]-2-thienyl]amino]carbonyl]amino]-3-(4-hydroxyphenyl)-1-oxopropyl]amino]methyl]-2,7-dimethyl-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 891845-21-9
CMF C28 H32 N5 O5 S2

Absolute stereochemistry.

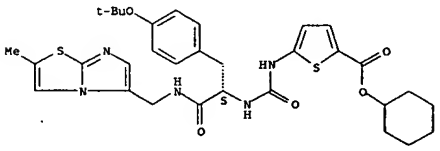


CM 2

CRN 14477-72-6
CMF C2 F3 O2

IT 891845-34-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused heteroarom. quaternary ammonium salt amino acid derivs. as muscarinic acetylcholine receptor antagonists)
RN 891845-34-4 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[[4-(1,1-dimethylethoxy)phenyl]methyl]-2-[[(2-methylimidazo[2,1-b]thiazol-5-yl)methyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:605213 CAPLUS
DOCUMENT NUMBER: 145:76661
TITLE: Muscarinic acetylcholine receptor antagonists useful in the treatment of asthma, pulmonary diseases and other diseases of respiratory tract
INVENTOR(S): Busch-Petersen, Jakob; Davis, Roderick S.; Fu, Wei; Jin, Jian; Laine, Dramane I.; Palovich, Michael R.
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

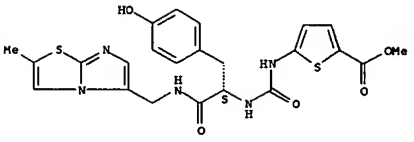
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006065788	A2	20060622	WO 2005-US45012	20051213
WO 2006065788	A3	20060817		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-635703P P 20041213
OTHER SOURCE(S): MARPAT 145:76661
AB The invention discloses muscarinic acetylcholine receptor antagonists R3TNHC(O)NHCH(CH2R1)C(O)N(R4)(CH2)nCYC [CYC = Q1, Q2; Y = S, O, NR4; X = Z, CR5 (with provisions); Z = N, CR5 (with provisions); n = 0-3; R1 = (un)branchec C1-8 alkyl, C3-8 cycloalkyl, etc.; T= thiophene, furan, thiazole, etc.; R3 = COR6, COOR6, OSO2R6, etc.; R4 = H, C1-3 alkyl, allyl; R5= H, C1-3 alkyl, halo, etc.; R6 = (un)substituted (un)branched C1-8 alkyl, C3-12 cycloalkyl, Ph, etc.] useful in treatment of respiratory tract diseases, including asthma, allergic rhinitis, pulmonary fibrosis and others.
IT 892397-41-0P 892397-42-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(muscarinic acetylcholine receptor antagonists useful in treatment of respiratory tract diseases)
RN 892397-41-0 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[[(2-methylimidazo[2,1-b]thiazol-5-yl)methyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

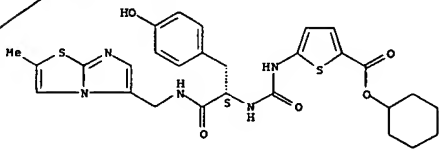
Absolute stereochemistry.

L6 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 892397-42-1 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-[[[[(1S)-1-[(4-hydroxyphenyl)methyl]-2-[[(2-methylimidazo[2,1-b]thiazol-5-yl)methyl]amino]-2-oxoethyl]amino]carbonyl]amino]-, cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



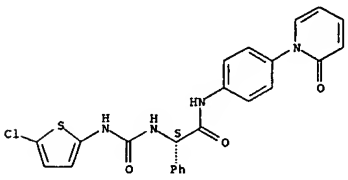
L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:558817 CAPLUS
DOCUMENT NUMBER: 145:63142
TITLE: Preparation of amino acid urea derivatives as factor Xa inhibitors
INVENTOR(S): Song, Yonghong; Zhu, Bing-Yan; Wang, Shumei; Bhakta, Chhaya; Scarborough, Robert M.
PATENT ASSIGNEE(S): Portola Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 186 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006063113	A2	20060615	WO 2005-US44388	20051207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006160821	A1	20060720	US 2005-298317	20051207
PRIORITY APPLN. INFO.:			US 2004-634201P	P 20041207
OTHER SOURCE(S):		MARPAT 145:63142		

AB The invention relates to urea derivs. A-Q-D-(CR7R8)m(NR6)nCOCR4R5NR3CONR1R2 [m, n are 0 or 1; D is a direct bond, aryl, heteroaryl, cycloalkyl, cycloalkenyl, heteromonocyclyl, heterobicyclyl; Q is a direct bond, alkylene, CO, CS, O, S, imino, SO2, SO, etc. (at least one of D and Q is not a direct bond); A is amino, amidino, guanidino groups, alkyl, pyridyl oxide, etc.; R1 is H, alkyl, arylalkyl, heteroaryl, alkenyl; R2 is (un)substituted arylalkyl, arylcycloalkyl, heteroaryl, cycloalkyl, etc.; R3-R8 are H, (un)substituted alkyl, alkenyl, cycloalkyl, etc.; or R4 may from a ring with R5 or R6] and their pharmaceutically-acceptable salts and prodrugs which are inhibitors of Factor Xa and are used to prevent or treat a number of conditions characterized by undesired thrombosis. Thus, N-{[(4-chlorophenyl)amino]carbonyl}glycine [4-(1-methyl-4,5-dihydro-1H-imidazol-2-yl)phenyl]amide was prepared by reaction of Boc-protected glycine with 4-aminobenzonitrile, iodomethane, N-methylethylenediamine, and 4-chlorophenyl isocyanate. The product showed IC50 ≤ 100 nM for inhibition of factor Xa.
IT 891789-69-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid urea derivs. as factor Xa inhibitors)
RN 891789-69-8 CAPLUS
CN Benzeneacetamide, α-{[[(5-chloro-2-thienyl)amino]carbonyl]amino}-N-

L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
[4-(2-oxo-1(2H)-pyridinyl)phenyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



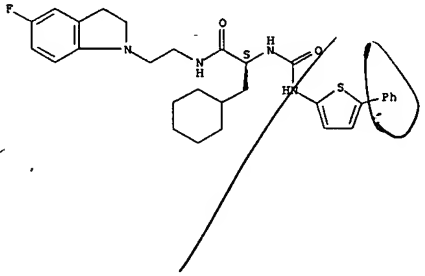
L6 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:817667 CAPLUS
DOCUMENT NUMBER: 141:327646
TITLE: Inhibitors of cathepsin S for use in pharmaceuticals
INVENTOR(S): Liu, Hong; Alper, Phil; Chatterjee, Arnab; Tully, David; Bursulaya, Badry; Woodmansee, David; Epple, Robert; Harris, Jennifer Leslie; Li, Jun
PATENT ASSIGNEE(S): IRM LLC, Bermuda
SOURCE: PCT Int. Appl., 166 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004084843	A2	20041007	WO 2004-US9414	20040324
WO 2004084843	A3	20050929		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004248887	A1	20041209	US 2004-807613	20040323
PRIORITY APPLN. INFO.:			US 2003-457848P	P 20030324
			US 2004-807613	A 20040323
OTHER SOURCE(S):		MARPAT 141:327646		

AB The present invention provides compds. R1-Y-X-NH-C(R2)(R3)-(CH)n(R4)-CO-NH-C(R5)(R6)-C(R7)(R8)-N(R9)-Ar [R1 = H, (substituted)C6-10-aryl, 5-6-membered monocyclic, 8-10-membered bicyclic heteroaryl, C3-8-cycloalkyl or C3-8-heterocycle; R2 = (substituted)phenyl, 5-6-membered heteroaryl, C2-6-alkyl, C2-6-alkenyl, C2-6-alkynyl, C3-7-cycloalkyl, C7-11-bicycloalkyl; R3 = H, C1-4-alkyl; n = 0, 1; R4 = H, C1-6-alkyl; R5 = H, C3-7-cycloalkyl, C2-6-alkenyl, C2-6-alkynyl, (substituted)phenyl, 5-6-membered heteroaryl, C1-6-alkyl; Y = bond, (CR20R21)mW(CR22R23)p; m = 0,1; p = 1,2; W = bond, O, S, SO, SO2, NR12; X = CO,OCO,NR24CO, SO2; R6-9 = H, C1-4-alkyl; Ar = substituted Ph or 5-6-membered heteroaryl; R20-23 = bond, H, F, OH, C1-4-alkyl, C1-3-alkylhydroxy; R12 = H, C1-4-alkyl] and methods for the selective inhibition of cathepsin S. In a preferred aspect, cathepsin S is selectively inhibited in the presence of at least one other cathepsin isoenzyme. The present invention also provides methods for treating a disease state in a subject by selectively inhibiting cathepsin S. Thus, (S)-3-cyclohexyl-N-[2-(5-fluoro-2,3-dihydroindol-1-yl)ethyl]-2-[5-(2-methyl-5-trifluoromethyl-2H-pyrazol-3-yl)thiophene-2-sulfonylamino]propionamide was synthesized. This compound displayed a Ki for cathepsin S of <0.1 μM and Ki's for cathepsins B, K, and L of > 10 μM.
IT 769965-31-3P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of cathepsin S for use in pharmaceuticals)

L6 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 769965-31-3 CAPLUS
CN Cyclohexanepropanamide, N-[2-(5-fluoro-2,3-dihydro-1H-indol-1-yl)ethyl]-α-[[[(5-phenyl-2-thienyl)amino]carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Karen Cheng

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:328850 CAPLUS
DOCUMENT NUMBER: 140:357340
TITLE: Preparation of N-(5-chloro-2-thienyl)ureas and related compounds as coagulation factor Xa inhibitors for the treatment of thromboembolic illnesses
INVENTOR(S): Dorsch, Dieter; Cezanne, Bertram; Mederski, Werner; Tsaklakidis, Christos; Gleitz, Johannes; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

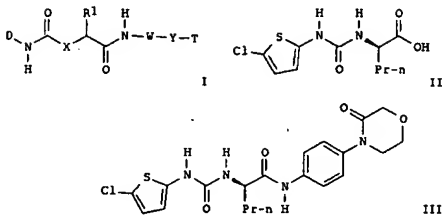
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10247226	A1	20040422	DE 2002-10247226	20021010
CA 2501706	A1	20040429	CA 2003-2501706	20030918
WO 2004035039	A1	20040429	WO 2003-EP10400	20030918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003270223	A1	20040504	AU 2003-270223	20030918
EP 1549304	A1	20050706	EP 2003-750577	20030918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006510604	T	20060330	JP 2004-544033	20030918
US 2006135515	A1	20060622	US 2006-530876	20050411

PRIORITY APPLN. INFO.: DE 2002-10247226 A 20021010
WO 2003-EP10400 W 20030918

OTHER SOURCE(S): MARPAT 140:357340
GI

current app.

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



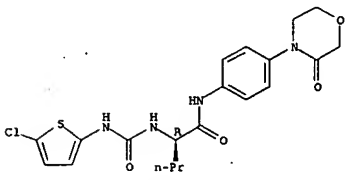
AB Title compds. I [D = halo, A, OR2, etc.; X = NR3, O; R1 = H, Ar, cycloalkyl, etc.; R2 = H, A, [C(R3)]n-Ar, etc.; R3 = H, A; W = [C(R3)]n; Y = alkylene, cycloalkylene, Het-diyl (sic), etc.; T = aromatic, heterocyclic; A = OR2, NO2, CN, etc.; n = 0-2] and their pharmaceutically acceptable salts and formulations were prepared For example, coupling of acid II, e.g., prepared from 2-chloro-5-isocyanatothiophene and D-norvaline, and 4-(4-aminophenyl)morpholin-3-one afforded benzimidazole III. In coagulation factor Xa inhibition assays, 2-examples of compds. I exhibited IC50 values ranging from 6.6-19 x 10-8 M, e.g., the IC50 value of benzimidazole III was 1.9 x 10-7 M. Compds. I are claimed useful for the treatment of thromboembolic illnesses and tumors.

IT 681816-81-9P 681816-82-0P 681816-83-1P
681816-84-2P 681816-86-4P 681816-87-5P
681816-88-6P 681816-89-7P 681816-90-0P
681816-91-1P 681816-92-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(5-chloro-2-thienyl)ureas and related compds. as coagulation factor Xa inhibitors for the treatment of thromboembolic illnesses)

RN 681816-81-9 CAPLUS
CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

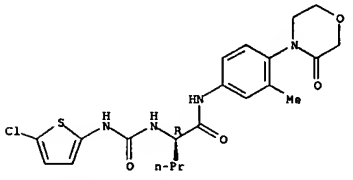
Absolute stereochemistry.

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

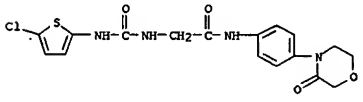


RN 681816-82-0 CAPLUS
CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-(3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



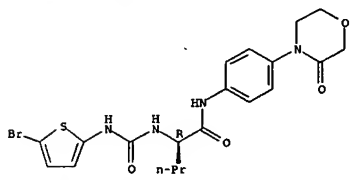
RN 681816-83-1 CAPLUS
CN Acetamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]- (9CI) (CA INDEX NAME)



RN 681816-84-2 CAPLUS
CN Pentanamide, 2-[[[(5-bromo-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

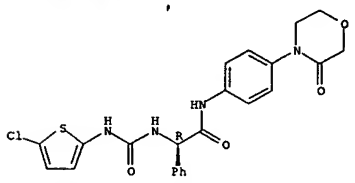
Absolute stereochemistry.

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 681816-86-4 CAPLUS
CN Benzeneacetamide, α-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (αR)- (9CI) (CA INDEX NAME)

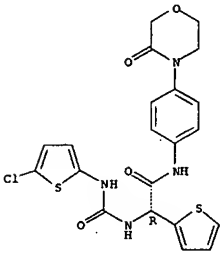
Absolute stereochemistry.



RN 681816-87-5 CAPLUS
CN 2-Thiopheneacetamide, α-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (αR)- (9CI) (CA INDEX NAME)

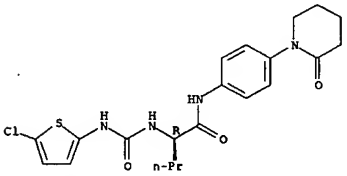
Absolute stereochemistry.

L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 681816-88-6 CAPLUS
CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(2-oxo-1-piperidinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



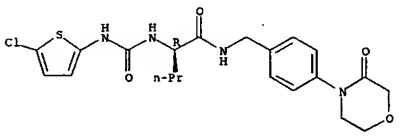
RN 681816-89-7 CAPLUS
CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(2-oxo-1(2H)-pyrazinyl)phenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

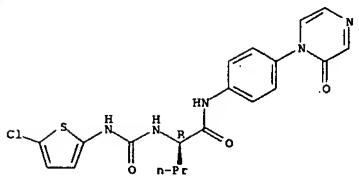
L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 681816-92-2 CAPLUS
CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[[4-(3-oxo-4-morpholinyl)phenyl]methyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

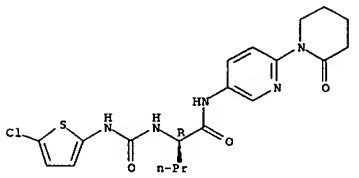


L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



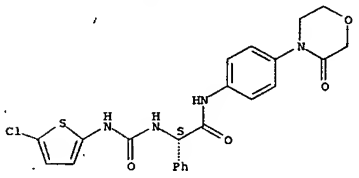
RN 681816-90-0 CAPLUS
CN Pentanamide, 2-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[6-(2-oxo-1-piperidinyl)-3-pyridinyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 681816-91-1 CAPLUS
CN Benzeneacetamide, α-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(3-oxo-4-morpholinyl)phenyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:892749 CAPLUS
DOCUMENT NUMBER: 139:381378
TITLE: Preparation of carboxylic acid amides as inhibitors of blood-coagulation factor Xa and VIIa
INVENTOR(S): Dorsch, Dieter; Mederski, Werner; Gleitz, Johannes; Cezanne, Bertram; Tsaklakidis, Christos; Barnes, Christopher
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093235	A1	20031113	WO 2003-EP3331	20030331
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10218974	A1	20031127	DE 2002-10218974	20020427
DE 10236868	A1	20040226	DE 2002-10236868	20020812
CA 2483228	A1	20031113	CA 2003-2483228	20030331
AU 2003226755	A1	20031117	AU 2003-226755	20030331
EP 1499591	A1	20050126	EP 2003-747402	20030331
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005531547	T	20051020	JP 2004-501374	20030331
US 2005171154	A1	20050804	US 2004-512478	20041026
US 7183277	B2	20070227		

PRIORITY APPLN. INFO.: DE 2002-10218974 A 20020427
DE 2002-10236868 A 20020812
WO 2003-EP3331 W 20030331

OTHER SOURCE(S): MARPAT 139:381378

AB Carboxylic acid amides DNHC(O)CHR1C(O)NHWYT [D = (substituted) Ph, pyridyl, thienyl; X = NR3, O; R1 = H, Ar, Het, cycloalkyl, (substituted) A; W = [C(R3)2]n; Y = alkylene, cycloalkylene, Het-diyl, Ar-diyl; T = (bicyclic) (substituted) heterocyclyl; R3 = H, A; A = (branched) (interrupted) (fluorinated) C1-10 alkyl; Ar = (substituted) Ph, naphthyl, biphenyl; Het = (bicyclic) (substituted) heterocyclyl; n = 0-2], were prepared for treating thrombosis and tumors. Thus, (R)-2-[N-(4-chlorophenyl)-carbamoyloxy]-N-[4-(2-iminopiperidin-1-yl)phenyl]-2-phenylacetamide (preparation given) in HCl was lyophilized to give (R)-2-[N-(4-chlorophenyl)-carbamoyloxy]-N-[4-(2-iminopiperidin-1-yl)phenyl]-2-phenylacetamide hydrochloride. The latter showed affinity to the receptor Xa with IC50 = 5.8·10-8 M and to the receptor VIIa with IC50 = 9.9·10-8 M.

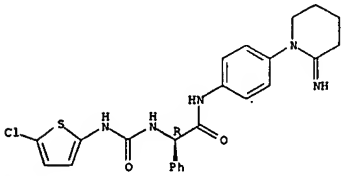
IT 625103-76-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

102e and D.P.

Karen Cheng

L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(prepn. of carboxylic acid amides as inhibitors of blood-coagulation factor Xa and VIIa)
RN 625103-76-6 CAPLUS
CN Benzeneacetamide, α-[[[(5-chloro-2-thienyl)amino]carbonyl]amino]-N-[4-(2-imino-1-piperidinyl)phenyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

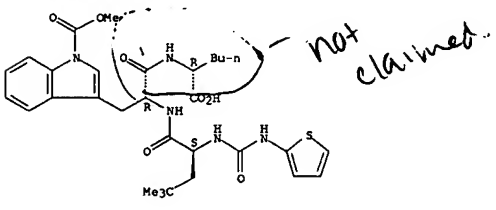


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

- R_1 = Ar
- W: $[C(R^3)_2]_n$, n = 0
- Y = Ar-diyl.
- T: heterocyclic ring.
  w/ 1N ring.
  sub. w/ $N(R^3)_2$
  $N(R^2)_2$

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1-naphthylmethyl, or benzyl contg. 0-2 OH, formyl, alkyl, alkoxy, alkoxycarbonyl, NO2, or R51COX2 groups; R51 = alkyl, alkoxy, or amino optionally substituted by alkyl; X2 = O, NR52; R52 = H, alkyl; X3 = O, S; R6 = H, alkyl or alkenyl optionally contg. OH, alkoxy, alkylthio, heterocyclyl groups; n = 0, 1; Y = CH2OH, CO2R71, CONHR72; R71 = H, alkyl; R72 = H, 1H-tetrazol-5-yl, sulfo, phosphono, alkyl optionally contg. OH, carboxyl, or sulfo] or a pharmaceutically acceptable salt thereof, inhibit the binding of endothelin to its endothelin B (ETB) receptor and are useful in treating diseases assocd. with excess prodn. or secretion of endothelin. Thus, Boc-L-Leu-D-Trp(CO2Me)-D-Nle-OH was prepd. by std. sold. peptide coupling reactions and showed 90% inhibition of binding in a 125I-endothelin-1 assay at 1.1 μM, while 108 related peptides showed 18-100% inhibition at the same concn.
IT 158740-02-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of endothelin antagonistic peptides)
RN 158740-02-4 CAPLUS
CN D-Norleucine, N-[1-(methoxycarbonyl)-N-[4-methyl-N-[(2-thienylamino)carbonyl]-L-leucyl]-D-tryptophyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

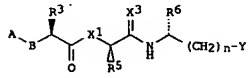


not claimed.

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:231368 CAPLUS
DOCUMENT NUMBER: 126:305783
TITLE: Preparation of endothelin antagonistic peptides
INVENTOR(S): Fujita, Kagari; Ihara, Masaki; Ikemoto, Fumihiko; Yano, Mitsuo; Nishikibe, Masaru; Ishikawa, Kiyofumi; Fukami, Takehiro; Hayama, Takeshi; Niiyama, Kenji; Nagase, Toshio; Mase, Toshiaki
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: U.S., 46 pp., Cont.-in-part of U.S. Ser. No. 884,642, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5614498	A	19970325	US 1992-945414	19920916
KR 230630	B1	19991115	KR 1992-23363	19921204
US 5470833	A	19951128	US 1994-213829	19940314
US 5444152	A	19950822	US 1994-214679	19940321
US 5496928	A	19960305	US 1994-230534	19940420
US 5691315	A	19971125	US 1995-494818	19950626
PRIORITY APPLN. INFO.:			JP 1990-149105	A 19900607
			US 1991-712095	B3 19910607
			JP 1991-347670	A 19911204
			JP 1991-353738	A 19911218
			US 1992-884642	B2 19920518
			JP 1992-234207	A 19920810
			US 1992-884189	B1 19920518
			US 1992-945414	A2 19920916
			US 1992-981424	B1 19921125
			US 1994-213829	A3 19940314

OTHER SOURCE(S): MARPAT 126:305783
GI



I

AB Peptides I [A = R11O2C, R12R13NCO, R11 = alkyl, Ph; R12 = alkyl, cycloalkyl, 1-adamantyl, Ph substituted by 0-2 halo, CF3, NO2, NH2, OHCNH, pyridyl, thienyl; R13 = H, alkyl, cycloalkyl; NR12R13 = optionally substituted 5-9-membered N heterocycle containing 0-1 S atoms and optionally benzo-fused; B = O, NR2; R2 = H, alkyl; R3 = alkyl, cycloalkyl, aryl, heterocyclic, cycloalkylalkyl, arylalkyl, heterocyclylalkyl; X1 = O, NR4; R4 = H, alkyl; R5 = 3-indolylmethyl, 3-benzothienylmethyl,

L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:330513 CAPLUS
DOCUMENT NUMBER: 122:105879
TITLE: Preparation of imidazo[1,2-a]pyridines as bradykinin antagonists.
INVENTOR(S): Oku, Teruo; Kayakiri, Hiroshi; Satoh, Shigeki; Abe, Yoshito; Yuki, Sawada; Tanaka, Hirokazu
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 117 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 596406	A1	19940511	EP 1993-117474	19931028
EP 596406	B1	19981216		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AU 9350242	A	19940512	AU 1993-50242	19931026
AU 686115	B2	19980205		
ZA 9308011	A	19940609	ZA 1993-8011	19931027
IL 107426	A	19970713	IL 1993-107426	19931027
AT 174596	T	19990115	AT 1993-117474	19931028
ES 2125294	T3	19990301	ES 1993-117474	19931028
CA 2102137	A1	19940503	CA 1993-2102137	19931101
CN 1089947	A	19940727	CN 1993-119684	19931101
HU 66302	A2	19941128	HU 1993-3119	19931102
JP 07300478	A	19951114	JP 1993-274643	19931102
JP 2763036	B2	19980611		
US 5574042	A	19961112	US 1995-441786	19950516
US 5750699	A	19980512	US 1996-662198	19960612
PRIORITY APPLN. INFO.:			GB 1992-22947	A 19921102
			GB 1993-4249	A 19930303
			US 1993-142967	B2 19931029
			US 1994-235632	B1 19940429
			US 1995-441786	A3 19950516

OTHER SOURCE(S): MARPAT 122:105879
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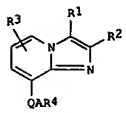


I

AB Title compds. [I; R1 = halo; R2, R3 = H, alkyl, haloalkyl, acyl, R4 = aryl having suitable substituent(s), heterocyclyl optionally having suitable substituent(s); Q = O or NR11; R11 = H, acyl; and A = alkylene], were prepared Thus, 8-(2,6-dichloro-3-nitrobenzyloxy)-2-methylimidazo[1,2-a]pyridine was stirred with N-bromosuccinimide in EtOH/dioxane to give 3-bromo-8-(2,6-dichloro-3-nitrobenzyloxy)-2-methylimidazo[1,2-a]pyridine. I at 10-5 M gave 95-100% inhibition of 3H-bradykinin binding to guinea pig

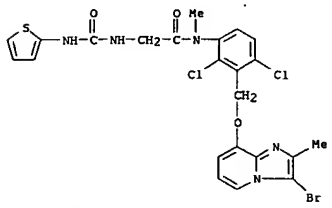
L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ileum prepns.

IT 160643-98-1P 160644-59-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as bradykinin antagonist)

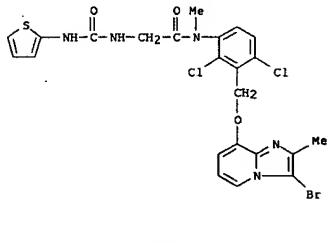
RN 160643-98-1 CAPLUS

CN Acetamide, N-[3-[[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]-N-methyl-2-[[(2-thienylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 160644-59-7 CAPLUS

CN Acetamide, N-[3-[[(3-bromo-2-methylimidazo[1,2-a]pyridin-8-yl)oxy]methyl]-2,4-dichlorophenyl]-N-methyl-2-[[(2-thienylamino)carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L6 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

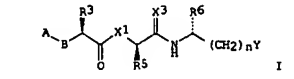
L6 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:681232 CAPLUS
DOCUMENT NUMBER: 121:281232
TITLE: Preparation of peptide endothelin antagonists
INVENTOR(S): Ishikawa, Kiyofumi; Fukami, Takehiro; Nagase, Toshio; Mase, Toshiaki; Ihara, Masaki; Yano, Mitsuo; Nishikibe, Masaru
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan
SOURCE: Can. Pat. Appl., 182 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

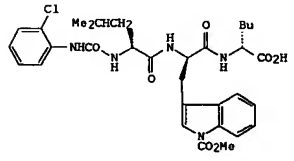
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2084163	A1	19930605	CA 1992-2084163	19921130
CA 2084163	C	20040629		
EP 555537	A2	19930818	EP 1992-120225	19921126
EP 555537	A3	19941102		
EP 555537	B1	20001102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AT 197305	T	20001115	AT 1992-120225	19921126
AU 9229838	A	19930610	AU 1992-29838	19921202
AU 657585	B2	19950316		
JP 06107680	A	19940419	JP 1992-349905	19921202
JP 3398992	B2	20030421		
KR 230630	B1	19991115	KR 1992-23363	19921204
PRIORITY APPLN. INFO.:			JP 1991-347670 A	19911204
			JP 1991-353738 A	19911218
			JP 1992-234207 A	19920810

OTHER SOURCE(S): MARPAT 121:281232

GI



I



II

AB Title compds. [I; A = R11O2C, R12R13NCO; R11 = alkyl, Ph; R12 = alkyl, cycloalkyl, 1-adamantyl, (substituted) Ph; R13 = H, alkyl, cycloalkyl;

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R12R13N = (substituted) 5-9-membered heterocyclic ring; B = O, NR2; R2 = H, alkyl; R3 = alkyl, cycloalkyl, aryl, heterocyclyl, cycloalkyl, aryl, heterocyclylalkyl; X1 = O, NR3; R5 = 3-indolylmethyl, 3-benzothienylmethyl, 1-naphthylmethyl, (substituted) PhCH2; R6 = H, alkyl, (substituted) alkenyl; n = 0,1; Y = hydroxymethyl, CO2R71, CONHR72, tetrazolyl, sulfo, phosphono; R71 = H, alkyl; R72 = H, (substituted) alkyl], were prepd. Thus, title compd. I, prepd. by soln. phase methods, antagonized endothelin-3-induced contraction of rabbit pulmonary artery with PA2 = 6.7.

IT 158740-02-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as endothelin antagonist)

RN 158740-02-4 CAPLUS

CN D-Norleucine, N-[1-(methoxycarbonyl)-N-[4-methyl-N-[(2-thienylamino)carbonyl]-L-leucyl]-D-tryptophyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.